Claims

1. Compounds of the general formula

5 wherein

R¹ represents heterocyclyl;

R² represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, hydroxy or cyano;

10 R³ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁴ represents hydrogen, or unsubstituted lower alkyl;

R⁵ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁶ represents hydrogen, unsubstituted lower alkyl, lower alkoxy, halo, or cyano;

R⁷ represents hydrogen, or unsubstituted lower alkyl;

15 R^8 represents hydrogen, lower alkyl, lower cycloalkyl, aryl, or heterocyclyl; or R^4 and R^8 together with the nitrogen atom to which they are attached represent heterocyclyl;

and pharmaceutically acceptable salts thereof.

- 20 2. Compounds of claim 1 wherein R¹ represents a five-membered heterocyle with one to three heteroatoms selected from nitrogen, oxygen, and sulfur.
 - 3. Compounds of claims 2 wherein R¹ represents a triazolyl ring.
- 25 4. Compounds of claim 2 wherein R¹ represents an oxazolyl ring.
 - 5. Compounds of claim 1 wherein at least one of R², R³, R⁵ and R⁶ is not hydrogen.
 - 6. Compounds of claim 1 wherein R² represents lower alkoxy.

- 7. Compounds of claim 6 wherein R² represents methoxy.
- 8. Compounds of claim 1 wherein R⁴ represents hydrogen.
- 9. Compounds of claim 1 wherein R⁴ represents branched lower alkyl.
- 10. Compounds of claim 1 wherein R³, R⁶, and R⁷ represents hydrogen.
- 10 11. Compounds of claim 4 wherein R² represents lower alkoxy and R³, R⁴, R⁶ and R⁷ represent hydrogen.
 - 12. Compounds of claim 11 wherein R¹ represents unsubstituted oxazolyl.
- 13. Compounds of claim 1 wherein R⁸ represents branched lower alkyl, aryl, , a 3 to 7 membered cycloalkyl ring, or a 5 or 6 -membered monocyclic or 9 or 10 -membered bicyclic saturated or unsaturated heterocyclic ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.
- 20 14. Compounds of claim 4 wherein R¹ represents an oxazolyl ring, according to the general formula:

$$R^{10} \xrightarrow{N} R^{9} \xrightarrow{R^{2}} R^{3} \xrightarrow{N} NR^{4}R^{8}$$

(IX)

wherein R² to R⁸ are defined as in Claim 1, and

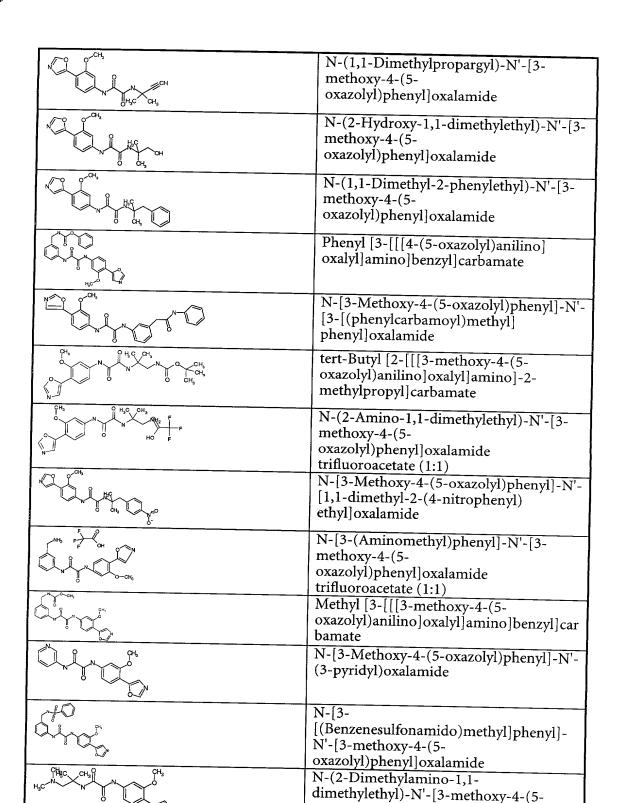
25 R⁹ represents hydrogen, lower alkyl, or aryl-lower alkyl; R¹⁰ represents hydrogen.



- 16. Compounds of Claim 14 wherein R⁹ and R¹⁰ are hydrogen.
- 5 17. Compounds of claim 14 wherein R² represents lower alkoxy, R³, R⁴, R⁶ and R⁷ represent hydrogen and R⁸ represents branched lower alkyl, aryl, , a 3 to 7 membered cycloalkyl ring, or a 5 or 6 -membered monocyclic or 9 or 10 -membered bicyclic saturated or unsaturated heterocyclic ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.
- 10 18. Compounds of claim 14 wherein R² represents methoxy.
 - 19. A compound of claim 18, selected from:

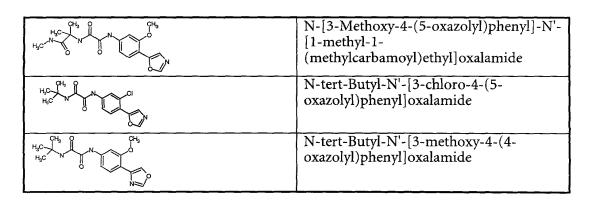
	tert-Butyl[3-[[[3-methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]benzyl]carbamate
H ₃ C	N-tert-Butyl-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
Chral	[3-[[[3-Methoxy-4-(5-oxazolyl)anilino] oxalyl]amino]benzyl]carbamic acid tetrahydro-3(S)-furyl ester
	N-[3-(Benzamidomethyl)phenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide
	Isopropyl [3-[[[3-methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]benzyl]carbamate
CH, OH, CH,	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'- (1-methyl-1-phenylethyl)oxalamide
H ₂ C CH ₃ CH ₃ CH ₃	N-(1,1-Dimethylpropyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide
H ₂ C H ₃ C CH ₃ O CH ₃	N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-(1,1,3,3-tetramethyl-butyl)oxalamide

CIH



(1:1)

oxazolyl)phenyl]oxalamide hydrochloride



or a pharmaceutically acceptable salt thereof.

20. Compounds of claim 14 of the formula

 R^{10} R^{9} R^{2} R^{3} R^{10} R^{10} R^{10} R^{12} R^{12} R^{12} R^{12}

wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above

 R^{11} and R^{13} is H or lower alkyl, m=1 to 5 and

R¹² is heterocyclyl, or aryl other than 4-fluorophenyl.

21. Compounds of claim 20 wherein

 R^2 is methoxy, R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen and wherein

R¹² is phenyl other than 4-fluorophenyl and heteroaryl.

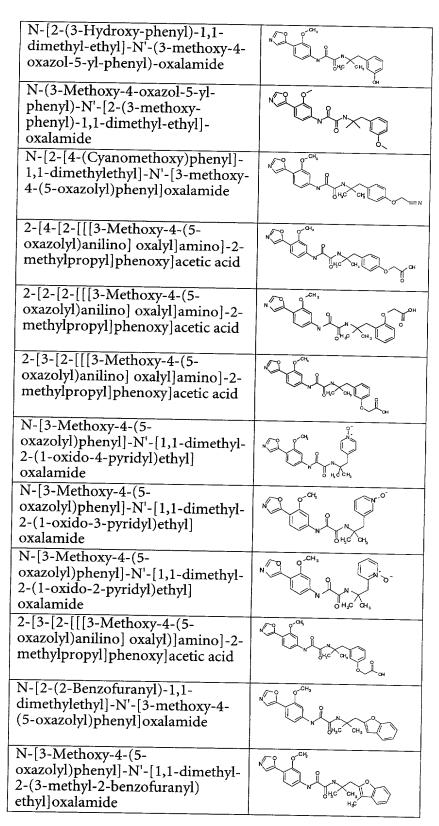


22. Compounds of claim 21 wherein R^{12} represents phenyl, a 5 or 6-membered monocyclic or 9 or 10-membered bicyclic saturated or unsaturated heterocyclic ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.

23. Compounds of claim 22 selected from

			-	1
ta	bl	e	1	C^

table 1c*			
Name	Structure		
N-[3-Methoxy-4-(5-oxazolyl)-phenyl]-N'-[1,1-dimethyl-2-(4-methylphenyl)ethyl]oxalamide	N CH ₃ CH ₃		
N-[1,1-Dimethyl-2-(2- methylphenyl)ethyl]-N'-[3- methoxy-4-(5- oxazolyl)phenyl]oxalamide	N CH ₃ CH ₃		
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(3-pyridyl)ethyl]oxalamide	N N N N N N N N N N N N N N N N N N N		
N-[3-Methoxy-4-(5-oxazolyl)-phenyl]-N'-[1,1-dimethyl-2-(3-methylphenyl)ethyl]oxalamide	N CH ₃ CH ₃		
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2-thienyl)ethyl]oxalamide	N N N S		
N-[2-(4-Benzyloxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	No cont		
N-[2-(4-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	N O O CONS		
N-(3-Methoxy-4-oxazol-5-yl-phenyl)-N'-[2-(4-methoxy-phenyl)-1,1-dimethyl-ethyl]-oxalamide	N H,C CH		
N-[2-(2-Hydroxy-phenyl)-1,1-dimethyl-ethyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	N OHO CH,		
N-(1,1-Dimethyl-2-phenyl-propyl)-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	N CH ₃		





A	
N-[2-(7-Methoxy-2-benzofuranyl)- 1,1-dimethylethyl]-N'-[3-methoxy- 4-(5-oxazolyl)phenyl]oxalamide	N H ₂ C CH ₃
N-[2-(5-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	CL CON CHICAGO
N-[2-(6-Methoxy-2-benzofuranyl)- 1,1-dimethylethyl]-N'-[3-methoxy- 4-(5-oxazolyl)phenyl]oxalamide	NO OCHS NO CHS NO CHS CHS CHS
Benzyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate	N J N N N N N N N N N N N N N N N N N N
4-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid	N HIP CH
Benzyl 3-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoate	N HE CHI
3-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]benzoic acid	N N N C CH S C C CH S C C CH S C C C C
N-[2-(3-Benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	N OHC CH
Benzyl 2-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropyl]-5-benzofurancarboxylate	
2-[2-[[[3-Methoxy-4-(5-oxazolyl)anilino] oxalyl]amino]-2-methylpropyl]-5-benzo furancarboxylic acid	
N-[2-(4-Methoxy-2-benzofuranyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	NC COLL
N'-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[2-(2,6-dimethyl-4- pyridyl)-1,1-dimethylethyl] oxalamide	HC CH CH CH

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(2,6-dimethyl-1-oxido-4-pyridyl) ethyl]oxalamide	N N N N N N N N N N N N N N N N N N N
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-(2- methyl-4-pyridyl)ethyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-(2- methyl-1-oxido-4- pyridyl)ethyl]oxalamide	
2-[2-[[[3-Methoxy-4-(5-oxazolyl) anilino] oxalyl]amino]-2-methyl propyl]-5-benzo thiophene carboxylic acid	N Q O O N N N S O O H

24. Compounds of claim 14 of the formula

$$R^{10}$$
 R^{9}
 R^{2}
 R^{3}
 R^{10}
 R^{10}

wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above

 R^{11} and R^{13} is H or lower alkyl, m=1 to 5 and R^{12} is heterocyclyl, or aryl other than 4-fluorophenyl.

10 25. Compounds of claim 24 wherein

 R^2 is methoxy, R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen and wherein

R¹² is phenyl other than 4-fluorophenyl and heteroaryl.

26. Compounds of claim 25 wherein R¹² represents phenyl or a 5 or 6-membered monocyclic or 9 or 10-membered bicyclic saturated or unsaturated heterocyclic ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.

5 27. Compounds of claim 26 selected from

table $1c^2$

NT [0 NT 1]	
N-[3-Methoxy-4-(5-	N O O CH.
oxazolylphenyl]-N'-[1-[(4-	
pyridyl)methyl]-1-	N N N
cyclopentyl]oxalamide	0 \N
N-[3-Methoxy-4-(5-	√o o cH₃
oxazolyl)phenyl]-N'-[1-[(1-oxido-	N O
4-pyridyl)methyl]-1-	N N N
cyclopentyl]oxalamide	" \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \
N-[3-Methoxy-4-(5-oxazolyl)	0
phenyl]-N'-[1-[(4-pyridyl)methyl]-	
1-cyclopropyl]oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)	- A 10-
phenyl]-N'-[1-[(1-oxido-4-	
pyridyl)methyl]-1-	
cyclopropyl]oxalamide	N
N-[3-Methoxy-4-(5-oxazolyl)	
phenyl]-N'-[1-[(4-pyridyl)methyl]-	
1-cyclobutyl]oxalamide	
, , , , , , , , , , , , , , , , , , , ,	
N-[3-Methoxy-4-(5-oxazolyl)	N-
phenyl]-N'-[1-[(1-oxido-4-	
pyridyl)methyl]-1-cyclobutyl]	
oxalamide	
N-[3-Methoxy-4-(5-oxazolyl)	IN
nhanyll N' [1 [(4 pyridyl)41-11	S V
phenyl]-N'-[1-[(4-pyridyl)methyl]-	
1-cyclohexyl]oxalamide	«Oy" Ö
N [2 Math 4 (5 1 1)	Ñ- ^{IJ}
N-[3-Methoxy-4-(5-oxazolyl)	0 N+0-
phenyl]-N'-[1-[(1-oxido-4-	~~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
pyridyl)methyl]-1-	ا الله الله الله الله الله الله الله ال
cyclohexyl]oxalamide	N-J

28. Compounds of claim 14 of the formula

15

$$R^{10}$$
 R^{10}
 R^{10}

wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above, R^{11} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} and R^{18} are H or lower alkyl and R^{19} is alkyl, cycloalkylalkyl, heterocyclyl alkyl or aryl alkyl.

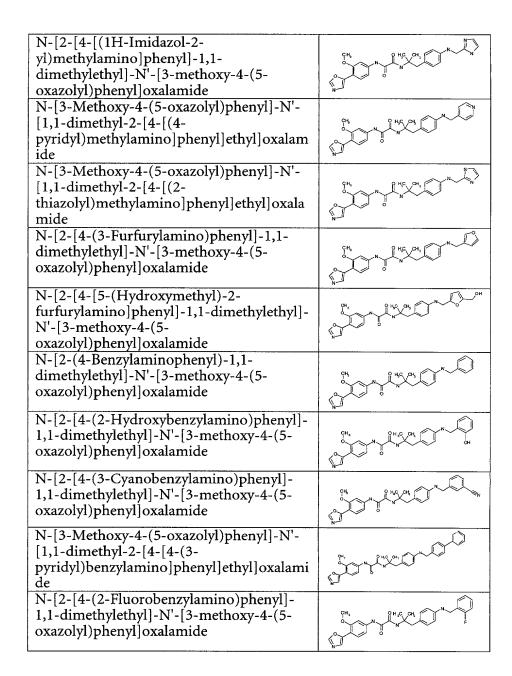
29. Compounds of claim 28 wherein R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

30. Compounds of claim 29 wherein R¹⁹ represents arylalkyl, branched lower alkyl, a 3 to 7 membered cycloalkyl alkyl, or a 5 or 6 -membered monocyclic or 9 or 10 -membered bicyclic saturated or unsaturated heterocyclyl alkyl with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.

31. Compounds of claim 30 selected from

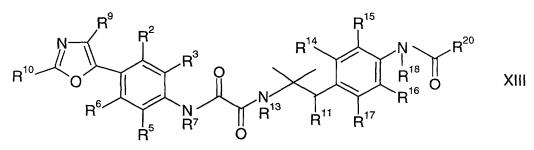
table 1d

N-[3-Methoxy-4-(5-oxazolyl)phenv ¹ [1,1-dimethyl-2-[4-[(2-pyridinyl)methylaminide N-[3-Metho. [1,1-dimethyl	
N-[3-Metho. [1,1-dimethy, pyridyl)methy, ide	OH, COL
N-[2-[4-(2-Furt mino)phenyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	ÇH, N,
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'- [1,1-Dimethyl-2-[4-(2- thenylamino)phenyl]ethyl]oxalamide	CH, OH, COH, OH, OH, OH, OH, OH, OH, OH, OH, OH,
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'- [1,1-dimethyl-2-[4-(2,2- dimethylpropylamino)phenyl]ethyl]oxalam ide	CH, OH, CHOM, OH, OH, OH,



31. Compounds of claim 14 of the formula

15



wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above, R^{11} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} and R^{18} are H or lower alkyl and R^{20} is alkyl, cycloalkyl, aryl, heterocyclyl.

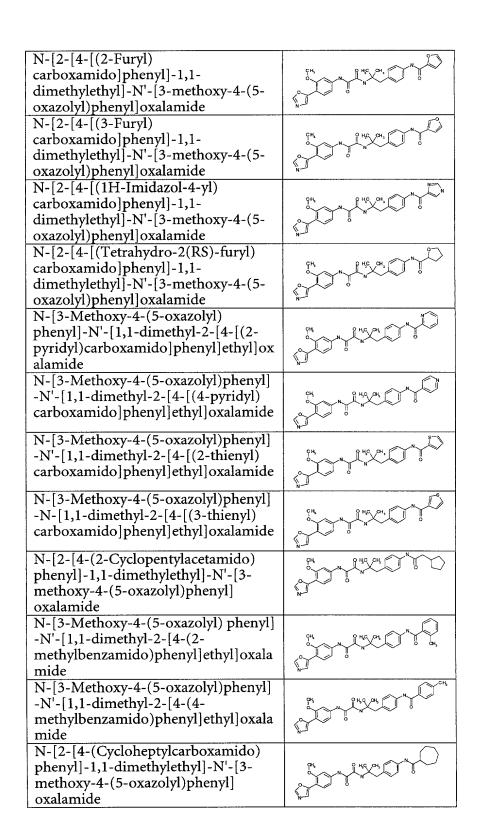
32. Compounds of claim 31 wherein R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

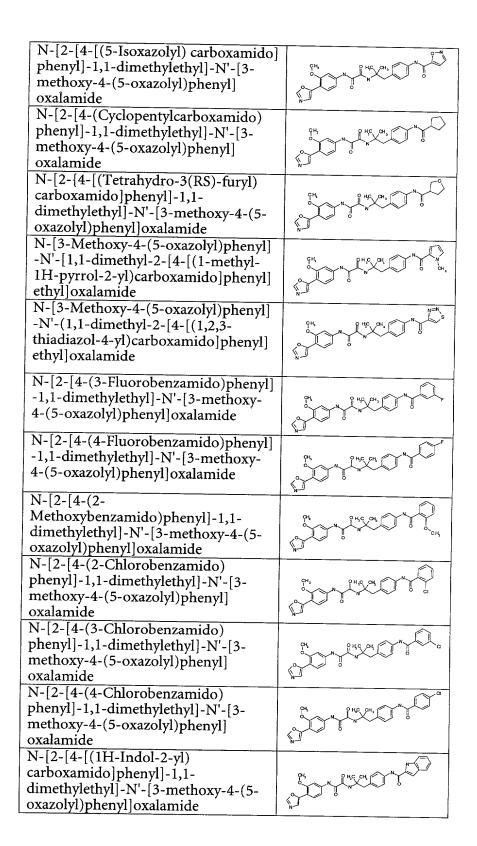
33. Compounds of claim 32 wherein R²⁰ represents aryl, branched lower alkyl, a 3 to 7 membered cycloalkyl ring, or a 5 or 6 -membered monocyclic or 9 or 10 -membered bicyclic saturated or unsaturated heterocyclic ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.

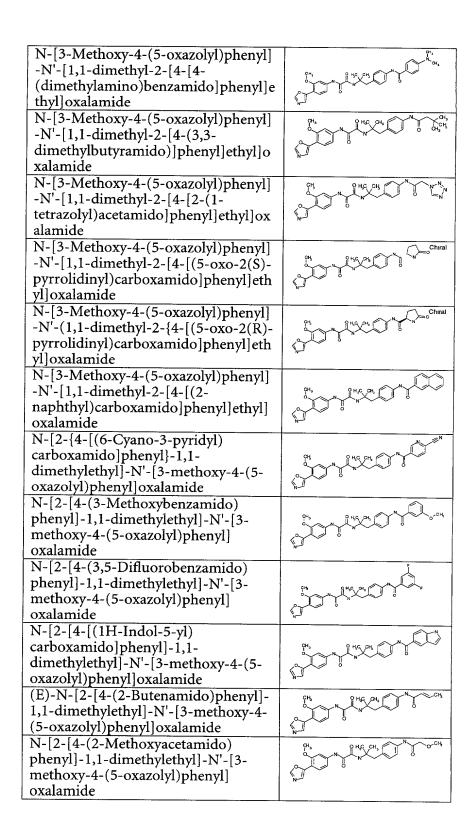
34. Compounds of claim 33 selected from

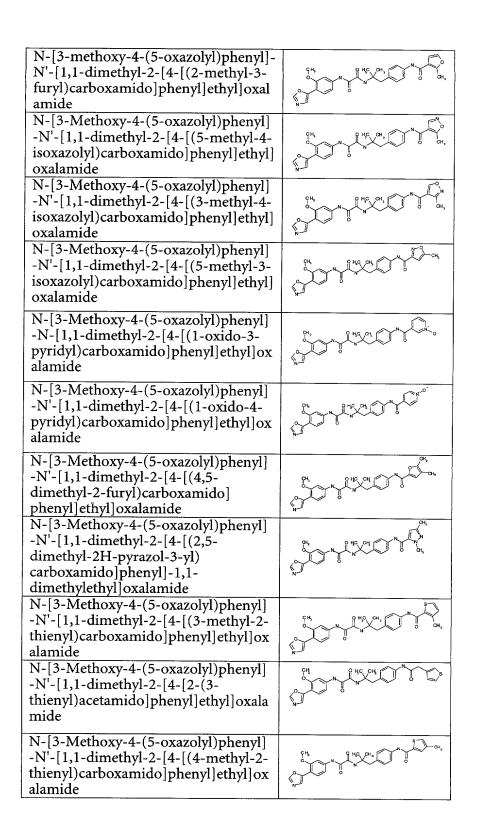
table 1e

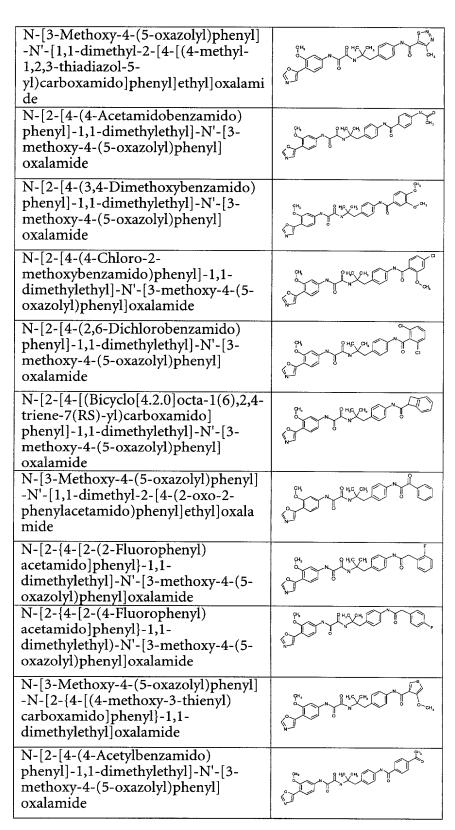
Name	Structure
N-[2-[4-	
(Cyclopropylcarboxamido)phenyl]-	CH NHC OH,
1,1-dimethylethyl]-N'-[3-methoxy-4-	
(5-oxazolyl)phenyl]oxalamide	
N-[2-[4-(Cyclobutylcarboxamido)	
phenyl]-1,1-dimethylethyl]-N'-[3-	CH, PHC CH.
methoxy-4-(5-oxazolyl)phenyl	
oxalamide	
N-{3-Methoxy-4-(5-oxazolyl)phenyl]	СНСН
-N'-[1,1-dimethyl-2-(4-	Hic Ai I GA'
pivalamidophenyl)-1,1-	
dimethylethyl]oxalamide	W-1
N-[3-Methoxy-4-(5-oxazolyl)phenyl]	, N
-N'-[1,1-dimethyl-2-[4-[(1H-pyrrol-	GH, PHC CH, T
2-yl)carboxamido]phenyl]ethyl]	
oxalamide	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \











N-[2-[4-[(1,3-Benzodioxol-5-yl)	()
carboxamido]phenyl]-1,1-	CH. MOCH. TYPE
dimethylethyl]-N'-[3-methoxy-4-(5-	
oxazolyl)phenyl]oxalamide	
N-[2-[4-[2-(2-Chlorophenyl)	CI
acetamido]phenyl]-1,1-	CH, NHCCH
dimethylethyl]-N'-[3-methoxy-4-(5-	
oxazolyl)phenyl]oxalamide	
N-[2-[4-[2-(4-Chlorophenyl)	PHS ON PASS
acetamido]phenyl]-1,1-	
dimethylethyl]-N'-[3-methoxy-4-(5-	
oxazolyl)phenyl]oxalamide	
tert-Butyl 4-[[4-[2-[[[3-methoxy-4-	о сн,
(5-oxazolyl)anilino]oxalyl]amino]-2-	CH3 G CH3
methylpropyl]phenyl]carbamoyl)benz	
oate	
4-[[4-[2-[[[3-Methoxy-4-(5-oxazolyl)	O II
anilino]oxalyl]amino]-2-	CH. O CH. NO
methylpropyl]phenyl]carbamoyl]benz	
oic acid	

35. Compounds of claim 14 of the formula

$$R^{10} \xrightarrow{N} R^{2} \xrightarrow{R^{2}} R^{3} \xrightarrow{N} R^{13} \xrightarrow{R^{11}} (CH_{2})_{n} \xrightarrow{Z} R^{12}$$

- wherein R², R³, R⁵, R⁶, Rⁿ, R⁰ and R¹⁰ are defined as above,
 R¹¹ and R¹³ are H or lower alkyl,
 n= 0 or 1,
 R², R⁰ are lower alkyl or R² and R⁰ taken together with the carbon atom to which they are
- attached form a 3 to 7 member carbocycle, and

 R¹² is heterocyclyl, aryl or lower cycloalkyl
- and Z is O, S or NR²⁸,
 wherein R²⁸ is H or lower alkyl.

36. Compounds of claim 35 of the formulas:

$$R^{10} \xrightarrow{N} R^{9} R^{2} \qquad XIVa$$

$$R^{10} \xrightarrow{N} R^{10} \xrightarrow{N} R^{13} R^{11} \xrightarrow{N} R^{12}$$

wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above R^{11} and R^{13} is H or lower alkyl,

- n=0 or 1, $(CH_2)_m$, m=1 to 5 and, R^{12} is heterocyclyl, aryl or lower cycloalkyl.
 - 37. Compounds of claims 36 wherein R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.

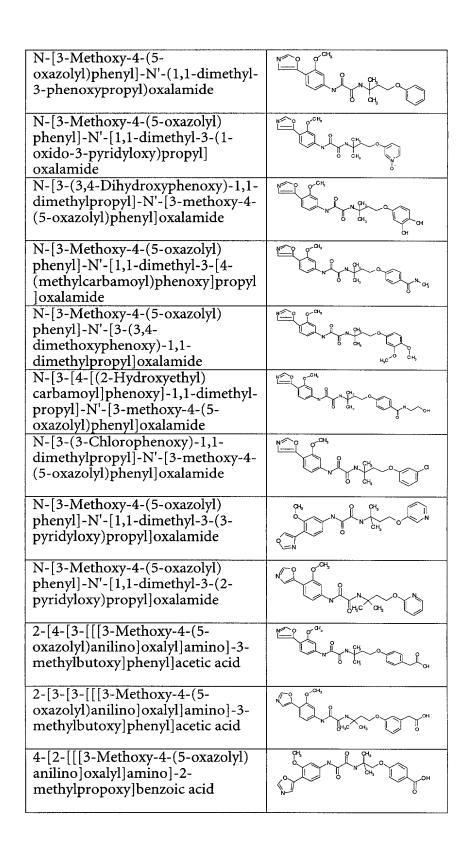
Compounds of claim 37 wherein R¹² represents aryl, a 3 to 7 membered cycloalkyl ring, or a 5 or 6 -membered monocyclic or 9 or 10 -membered bicyclic saturated or unsaturated heterocyclic ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.

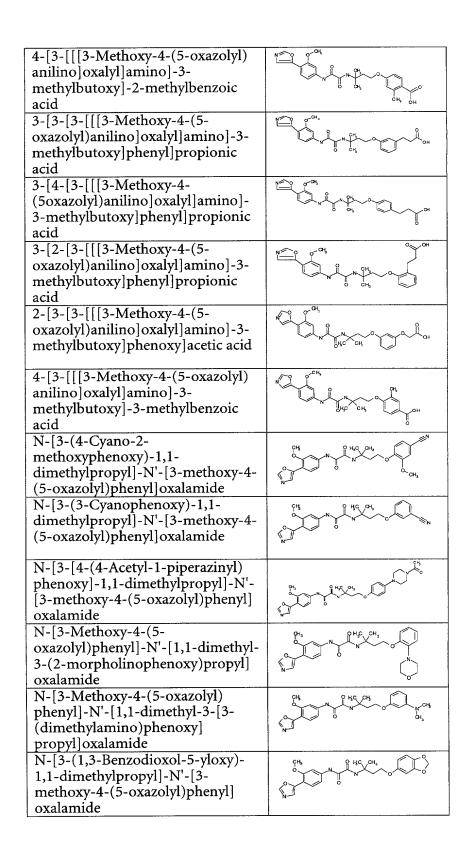
15 39. Compounds of claim 38 selected from

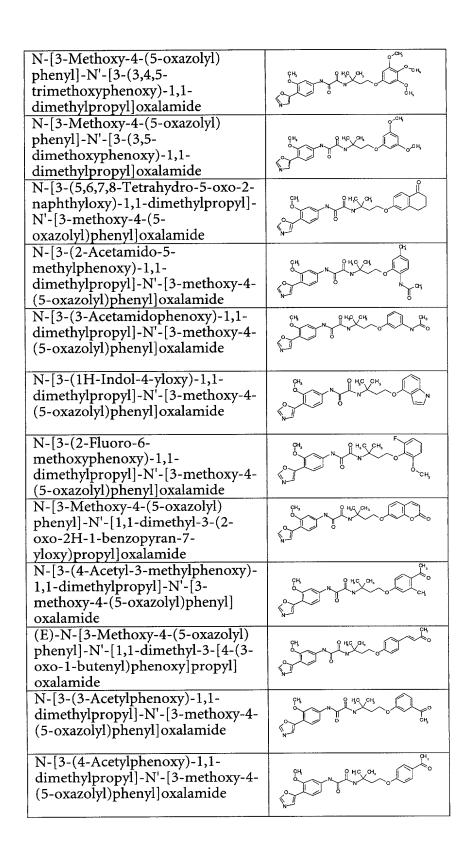
table 1fi

Name	Structure
N-[3-(4-Hydroxy-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	NCH ₅
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[3-(4- methoxyphenoxy)-1,1- dimethylpropyl]oxalamide	NO CHA
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(4- nitrophenoxy)propyl]oxalamide	NO O-CH, CH, CH, O-CH, O-C
N-[3-(2-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	N CH ₃ O CH ₃ O CH ₃ HO

N-[3-(4-Amino-phenoxy)-1,1-dimethyl-propyl]-N'-(3-methoxy-4-oxazol-5-yl-phenyl)-oxalamide	N CH ₄
N-[3-(4-Acetylamino-phenoxy)- 1,1-dimethyl-propyl]-N'-(3- methoxy-4-oxazol-5-yl-phenyl)- oxalamide	N CH, CH, CH, CH,
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(3- pyridyloxy)propyl]oxalamide	
N-[3-(3-Hydroxyphenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	NZ O NZ NX O CO
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[3-(3- methoxyphenoxy)-1,1- dimethylpropyl]oxalamide	N2 9 N2 NX 000
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(3- nitrophenoxy)propyl]oxalamide	NE OF NEW POOR
N-[3-(3-Aminophenoxy)-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	
4-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	Mark Coly
2-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	N CH, O CH
3-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	
2-[4-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid	
2-[2-[3-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]phenoxy]acetic acid	

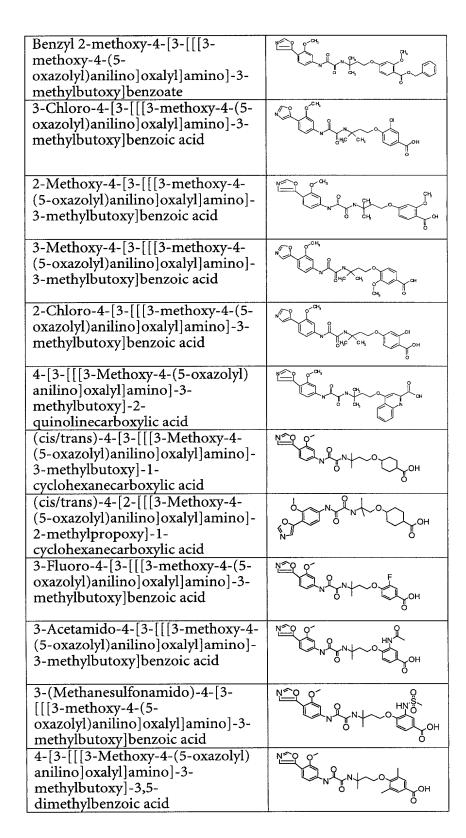








N-[3-(4-Acetamido-2-	çış gışççış
chlorophenoxy)-1,1-	
dimethylpropyl]-N'-[3-methoxy-4-	
(5-oxazolyl)phenyl]oxalamide	
N-[3-Methoxy-4-(5-	N O CH
oxazolyl)phenyl]-N'-[1,1-dimethyl-	
	N CH.
3-(4-pyridyloxy)propyl]oxalamide	ö än, 🔍 📉
N-[3-Methoxy-4-(5-oxazolyl)	N O of CH,
phenyl]-N'-[1,1-dimethyl-3-(1-	
oxido-4-pyridyloxy)propyl]	N N N N N N N N N N N N N N N N N N N
oxalamide	O CH ₃
	NCO _CR
N-[3-Methoxy-4-(5-oxazolyl)	
phenyl]-N'-[1,1-dimethyl-3-(2,6-	N N N ON ON
dimethyl-4-pyridyloxy)propyl]	O GH, YN
oxalamide	~,
N-[3-Methoxy-4-(5-oxazolyl)	N C O'C'
phenyl]-N'-[1,1-dimethyl-3-(2,6-	V N N O O O
dimethyl-1-oxido-4-pyridyloxy)	ö bн, <u>, i, o</u> -
propyl]oxalamide	оң
N-[2-(4-Cyanophenoxy)-1,1-	CH, CH,
dimethylethyl]-N'-[3-methoxy-4-	
(5-oxazolyl)phenyl]oxalamide	N
N-[3-Methoxy-4-(5-oxazolyl)	NO O'CH
phenyl]-N'-[3-(2-methoxy-4-	
pyridyloxy)-1,1-dimethylpropyl]	N H ₃ C CH ₃ CH ₃
oxalamide	The state of the s
N-[3-Methoxy-4-(5-oxazolyl)	CH, O CH
phenyl]-N'-[1,1-dimethyl-2-[4-	
(1H-tetrazol-5-yl)phenoxy]ethyl]	
oxalamide	
N-[3-(4-Cyanophenoxy)-1,1-	CH CHC CH.
dimethylpropyl]-N'-[3-methoxy-4-	
(5-oxazolyl)phenyl]oxalamide	
	ų .
N-[2-(3-Cyanophenoxy)-1,1-	CH, O CH,
dimethylethyl]-N'-[3-methoxy-4-	O. T. N. CH.
(5-oxazolyl)phenyl]oxalamide	
(/-/r/-)	
N-[3-Methoxy-4-(5-oxazolyl)	CH, O CH, N-N
phenyl]-N'-[1,1-dimethyl-2-[3-	a T T N CH, T T N
(1H-tetrazol-5-yl)phenoxy[ethyl]	
(1H-tetrazol-5-yl)phenoxy]ethyl] oxalamide	
oxalamide	N ^{-N} s
oxalamide N-[3-Methoxy-4-(5-oxazolyl)	QH, QHC, CH,
oxalamide N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-[4-	CH, N,
oxalamide N-[3-Methoxy-4-(5-oxazolyl)	CH, N,



3-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutoxy]-2-pyridinecarboxylic acid	N N N N O O O O O O O O O O O O O O O O
8-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutoxy]-2-quinolinecarboxylic acid	N N N N N N N N N N N N N N N N N N N
5-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutoxy]-2-indolecarboxylic acid	N OH OH

40. Compounds of claim 35 of the formula:

$$R^{10}$$
 R^{9}
 R^{2}
 R^{3}
 R^{10}
 R^{1

wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above R^{11} and R^{13} is H or lower alkyl, n=0 or 1, $(CH_2)_m$, m=1 to 5 and, R^{12} is heterocyclyl, aryl or lower cycloalkyl.

10

5

- 41. Compounds of claim 40 wherein R² is methoxy and R³, R⁵, R⁶, R⁹, R¹⁰, R¹¹ and R¹³ are hydrogen.
- 42. Compounds of claim 41 wherein R¹² represents aryl, a 3 to 7 membered cycloalkyl ring, or a 5 or 6 -membered monocyclic or 9 or 10 -membered bicyclic saturated or unsaturated ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.

43. Compounds of claim 42 selected from:

4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopropyl]ethoxy]benzoic acid	N N N N N N N N N N N N N N N N N N N
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoate	
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoate	
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoate	
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoic acid	CH CH CH
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoic acid	CH, N N N O O O
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl)amino]-1-cyclobutyl]ethoxy]benzoic acid	

Compounds of claim 35 of the formula 44.

$$R^{10}$$
 R^{10}
 R

R¹¹ and R¹³ are H or lower alkyl,

n=0 or 1,

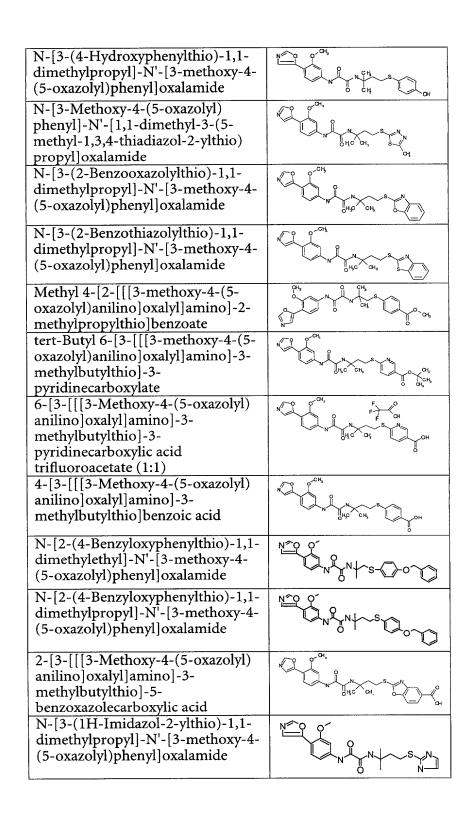
Ra, Rb are lower alkyl or Ra and Rb taken together with the carbon atom to which they are attached form a 3 to 7 member carbocycle,

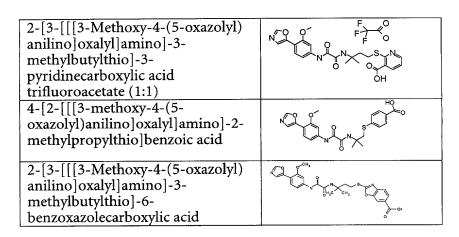
 R^{12} is heterocyclyl, aryl or lower cycloalkyl.

- 45. Compounds of claim 44 wherein R^2 is methoxy and R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen.
- 5 46. Compounds of claim 45 wherein R¹² represents aryl, a 3 to 7 membered cycloalkyl ring, or a 5 or 6 -membered monocyclic or 9 or 10 -membered bicyclic saturated or unsaturated heterocyclic ring with 1 to 4 heteroatoms selected from nitrogen, oxygen, and sulfur.
 - 47. Compounds of claim 46 selected from

10 table 1f²

Name	Structure
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2- (phenylthio)ethyl]oxalamide	o de contraction de c
N-[2-(4-Hydroxyphenylthio)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	N° O'N N' N' S N' S N' S N' S N' S N' S N'
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2- (phenylthio)ethyl]oxalamide	NO OCH, NO CH, SO CH,
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-(2- pyridylthio)ethyl]oxalamide	N S CH, S CH, S
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- pyridylthio)propyl]oxalamide	N CH _b S N
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- thienylthio)propyl]oxalamide	NO O'CH, S TS
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- pyrimidylthio)propyl]oxalamide	N CO O CH ₃
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(4- pyridylthio)propyl]oxalamide	NO OCH OCH OCH OCH OCH OCH OCH OCH OCH OC
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- thiazolylthio)propyl]oxalamide	NO O'CH ₃





48. Compounds of claim 35 of the formula

$$R^{10}$$
 R^{9}
 R^{2}
 R^{3}
 R^{3}
 R^{10}
 R^{10}

wherein R², R³, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are defined as above,

 R^{11} , R^{13} and R^{28} are H or lower alkyl,

n=0 or 1,

 R^a , R^b are lower alkyl or R^a and R^b taken together with the carbon atom to which they are attached form a 3 to 7 member carbocycle, and R^{12} is heterocyclyl, aryl or lower cycloalkyl.

10

- 49. Compound of claim 48, wherein R^2 is methoxy and R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen and R^{28} is hydrogen or methyl.
- 50. Compounds of claim 49 wherein R¹² represents aryl.

15

51. Compounds of claim 50 selected from

table 1f³

Name	Structure	MS(ES) $(M+H)^+$	Ex No
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino) ethyl] oxalamide	H ₃ C N	423	632
N-(3-Anilino-1,1-dimethylpropyl)- N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide hydrochloride (1:1)	N CH ₃ CH ₃ N	423	633
4-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutylamino]benzoic acid	NO OCH, NO CH, N	467	634

52. Compounds of claim 14 of the formula

$$R^{10}$$
 R^{9}
 R^{2}
 R^{3}
 R^{10}
 R^{1

5

wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 and R^{10} are defined as above, R^{11} and R^{13} is H or lower alkyl,

n = 0 or 1

R²¹ is phenyl, phenyl alkyl, phenyl carbonyl, phenyl sulfonyl.

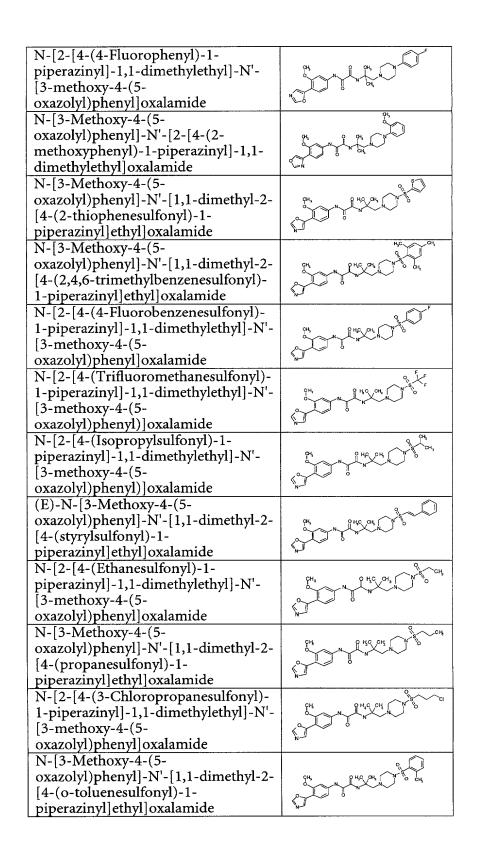
53. Compounds of claim 52 wherein R^2 is methoxy, R^3 , R^5 , R^6 , R^9 , R^{10} , R^{11} and R^{13} are hydrogen.

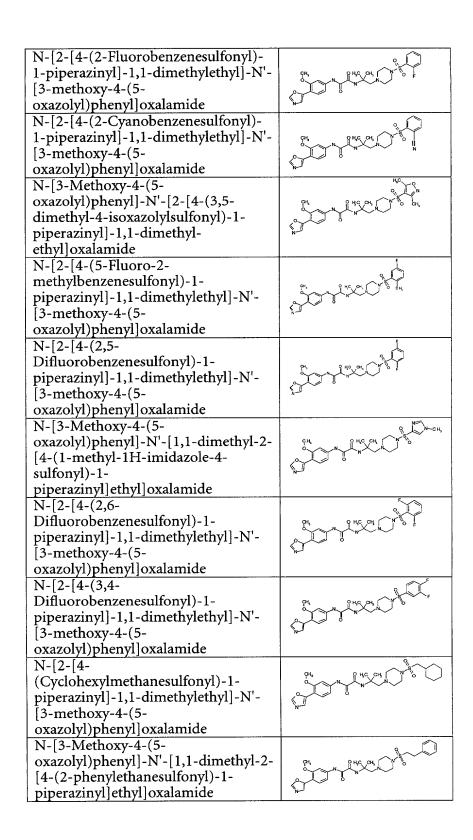
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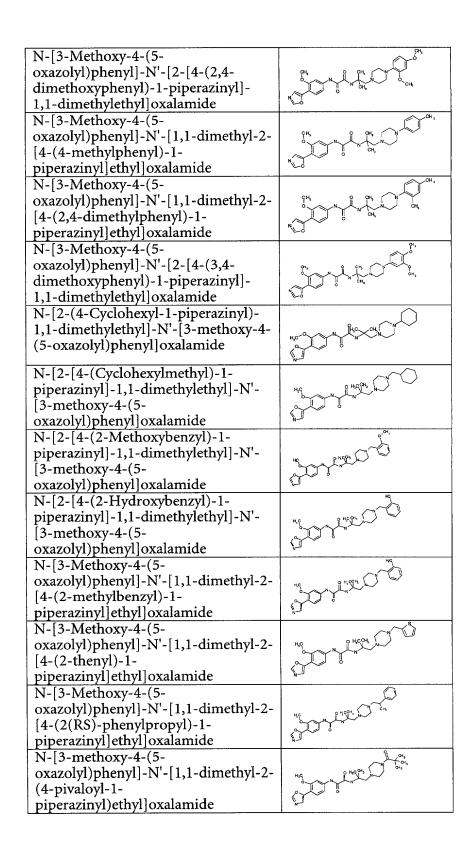
54. Compounds of claim 53 selected from

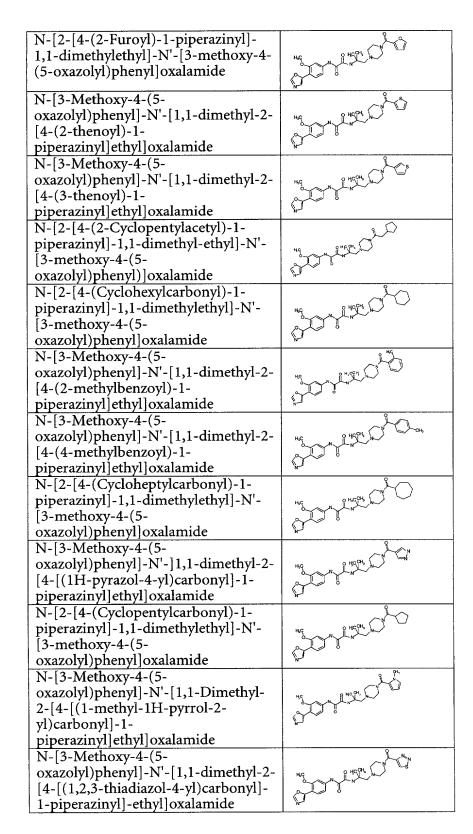
table 1g

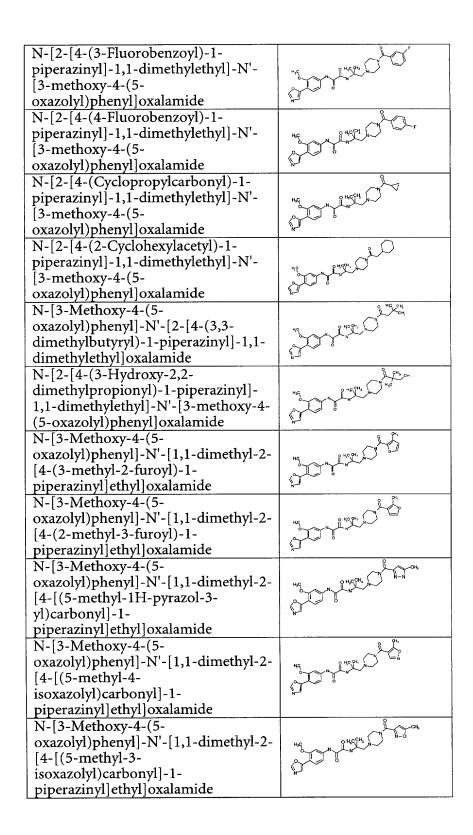
table 1g		
Name	Structure	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide		
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	NO NONXION	
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(3-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-phenyl-1-piperazinyl)propyl]oxalamide		
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(2-methoxy-phenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide		
N-[2-(4-Benzyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		
N-[2-[4-(Benzenesulfonyl)-1- piperazinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		
N-[2-(4-Benzoyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		
N-[2-[4-[4- (Trifluoromethyl)phenyl]-1- piperazinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		
N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl]oxalamide	STAJALAN P	
N-[2-[4-(2-Fluorophenyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		

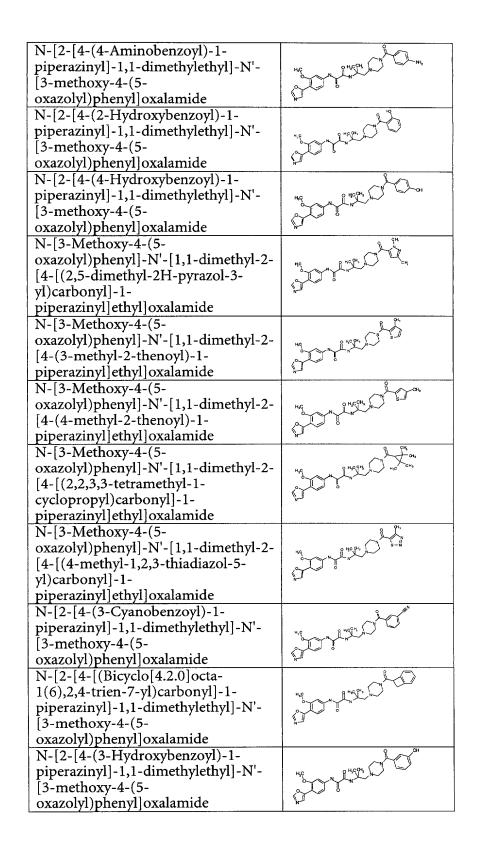


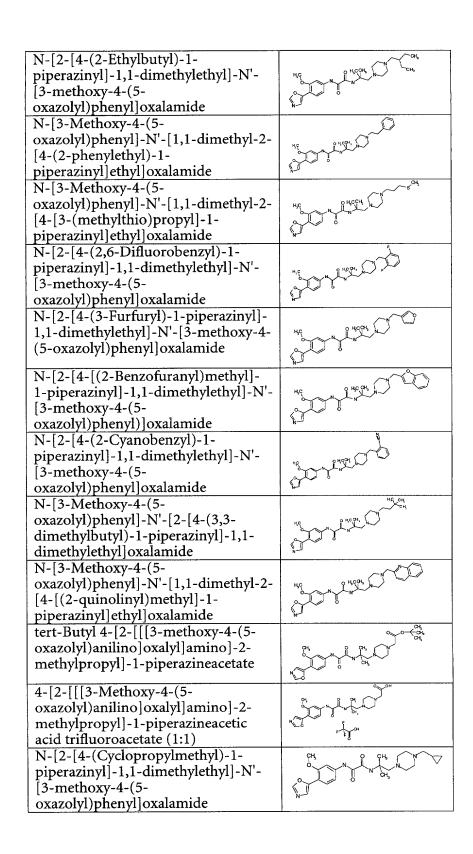


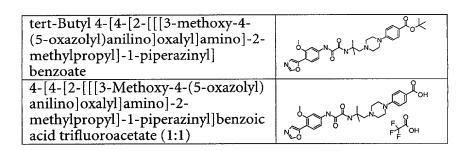












55. Compounds of claim 14 of the formula

$$R^{10}$$
 R^{9}
 R^{2}
 R^{23}
 R^{24}
 R^{24}
 R^{20}
 R^{24}
 R^{25}
 R^{26}
 R^{27}
 R^{27}
 R^{27}
 R^{27}
 R^{29}
 R^{29}

wherein R^2 , R^3 , R^5 , R^6 , R^7 , R^9 , R^{10} and R^{13} are defined as above R^{22} , R^{23} , R^{24} , R^{25} and R^{26} are H or lower alkyl R^{27} is alkyl, aryl or heterocyclyl, alkoxy, aryloxy, heterocyclyl oxy.

- 10 56. Compounds of claim 55 wherein R^2 is methoxy, R^3 , R^5 , R^6 , R^9 , R^{10} , R^{13} , R^{22} , R^{23} , R^{24} , R^{25} and R^{26} are hydrogen.
 - 57. Compounds of claim 56 wherein R²⁷ is aryl or aryloxy.

15 58. Compounds of claim 57 selected from

table 1h

Phenyl [3-[[4-(5-oxazolyl)anilino]oxalyl]amino]benzyl] carbamate	
N-[3-[(3- Fluorobenzamido)methyl]phenyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide	CH4 N N N N N N N N N N N N N N N N N N N

N-[3-[(3-	ÇH,
Chlorobenzamido)methyl]phenyl]-N'-	
[3-methoxy-4-(5-	
oxazolyl)phenyl]oxalamide	
N-[3-[(3-	
Methoxybenzamido)methyl]phenyl]-	I I I WAS I A SOUN
N'-[3-methoxy-4-(5-	
oxazolyl)phenyl]oxalamide	
N-[3-[(3,4-	ÇH,
Dimethoxybenzamido)methyl]phenyl]	
-N'-[3-methoxy-4-(5-	
oxazolyl)phenyl]oxalamide	
N-[3-[(3-	
Cyanobenzamido)methyl]phenyl]-N'-	
[3-methoxy-4-(5-	
oxazolyl)phenyl]oxalamide	
	L

Compounds of claim 14 of the formula 59.

$$R^{10}$$
 R^{2}
 R^{3}
 R^{10}
 $R^{$

wherein R², R³, R⁵, R⁶, R⁷ and R¹⁰ are defined as above R¹¹ and R¹³ is H or lower alkyl and R¹² is heterocyclyl, aryl or lower cycloalkyl.

Compounds of claim 59 wherein R² is methoxy, R³, R⁵, R⁶, R⁹, R¹⁰,R¹¹ and R¹³ are hydrogen and wherein R12 is phenyl or

wherein R²¹ is phenyl, phenyl alkyl, phenyl carbonyl, or phenyl sulfonyl.

Compounds of claim 60 selected from 61.

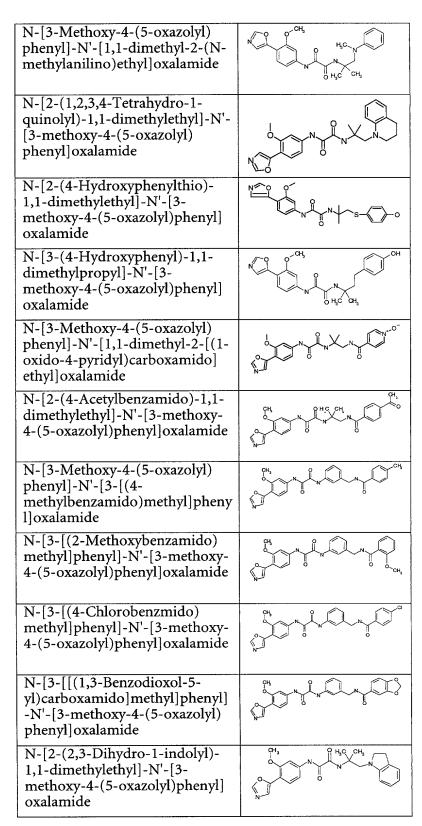


N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-phenyl-1-piperazinyl)ethyl]oxalamide	
N-[2-(4-Benzyloxyphenyl)-1,1- dimethylethyl]-N'-[3-methoxy-4- (4-oxazolyl)phenyl]oxalamide	
N-[2-(4-Hydroxyphenyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(4-oxazolyl)phenyl]oxalamide	CH, CH, CH,
N-[3-Methoxy-4-(4-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	CHA CHA CHA
N-[3-Methoxy-4-(2-methyl-4-oxazolyl)-phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	ST NINT NO

- 62. Compounds of claim 14 wherein R² is methoxy, R⁴, R⁷, and R⁸ are as in claim 1, and R³, R⁵, R⁶, R⁹, and R¹⁰ are hydrogen.
- 63. Compounds of claim 61 selected from

table 1b

Name	Structure
Benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]a mino]-2-methylpropyl}-1-piperidinecarboxylate	
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2- (phenylthio)ethyl]oxalamide	N N N CH ₃
N-[2-(1-Acetyl-4-piperidinyl)- 1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide	CH ₄
N-(2-Cyclohexyl-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide	N O O'CH ₃



at of the at of
N OHC CH
NO O'CH, NO CH, NO CH,
N C C CON, S NO S NI
NO CHA NO CHA
CHI CHI
CH ₃ CH ₃ CH ₃ CH ₃ CH ₃ CH ₃ CH ₄ CH ₃ CH ₄ CH ₅
CH ₉ O CH ₉

64. Compounds of the general formula

$$R^1$$
 R^2
 R^3
 R^5
 R^7
 R^7
 R^7

(IV)

wherein R¹, R², R³, R⁵, R⁶ and R⁷ are defined as in Claim 1.

65. Compounds of the general formula

5

$$R^{1}$$
 R^{6}
 R^{6}
 R^{7}
 R^{7

wherein R², R³, R⁵, R⁶ and R⁷ are defined as in Claim 1, and R⁹ and R¹⁰ are defined as in Claim 4.

- 66. A pharmaceutical composition comprising a compound of claim 1, or its pharmaceutically acceptable salt, and a pharmaceutically acceptable carrier, diluent or adjuvant, and, optionally, one or more additional therapeutically active substance(s).
- 15 67. A pharmaceutical composition of Claim 66, wherein the one or more additional therapeutically active substance(s) is an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an anti-parasitic agent, an anti-fungal agent, an anti-inflammatory agent and/or an anti-vascular hyperproliferation agent.
- 20 68. A pharmaceutical composition of Claim 67, wherein the one or more additional therapeutically active substance(s) is interferon or a derivative thereof.

- 69. A method of treating an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer in a subject, comprising the step of administering to the subject a therapeutically effective amount of a compound of claim 1, or its pharmaceutically acceptable salts.
- 70. A method of treating an IMPDH mediated disease comprising the step of administering to the subject a therapeutically effective amount of a compound of claim 1, or its pharmaceutically acceptable salts.
- 71. A method of treating an immune mediated condition or disease, a viral disease, a bacterial disease, a parasitic disease, inflammation, an inflammatory disease, a hyperproliferative vascular disease, a tumour, or cancer in a subject, comprising the steps of (a) administering to the subject a therapeutically effective amount of a compound of any one of claim 1, or its pharmaceutically acceptable salt, and (b) concurrently or sequentially administering to the subject one or more additional therapeutically active substance(s).
- 72. A method of Claim 71, wherein the one or more additional therapeutically active substance(s) is selected from the group consisting of an immunosuppressant, a chemotherapeutic agent, an anti-viral agent, an anti-parasitic agent, an anti-fungal agent, an anti-inflammatory agent and an anti-vascular hyperproliferation agent.
- 73. A method of Claim 72, wherein the one or more additional therapeutically active substance(s) is interferon or a derivative thereof.